L7 4 L6

=> d abs bib hitstr 1-4

L7 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

AB This document discloses a process for the production of acid addition salts of 3-[4-(8-fluoro-5,11-dihydrobenz[b]oxepino[4,3-b]pyridin-11-ylidene)piperidino]propionic acid alkyl esters, characterized by reacting alkyl 3-[4-(8-fluoro-5,11-dihydrobenz[b]oxepino- [4,3-b]pyridin-11-ylidene)piperidino]propionate with an acid; and a process for the production of 3-[4-(8-fluoro-5,11- dihydrobenz[b]oxepino[4,3-b]pyridin-11-ylidene)piperidino]propionic acid by using the above acid addition salt as the intermediate. Thus, treatment of Et 3-[4-(8-fluoro-5,11-dihydrobenz[b]oxepino- [4,3-b]pyridin-11-ylidene)piperidino]propionate (I) in ethanol with HCl in Et acetate gave I.HCl.

AN 2004:902387 CAPLUS

DN 141:379912

TI Process for preparation of dihydrobenz[b]oxepino[4,3-b]pyridin-11-ylidene)piperidino]propionic acid alkyl esters acid salts and dihydrobenz[b]oxepino[4,3-b]pyridin-11-ylidene)piperidino]propionic acid derivative

IN Uda, Junichiro; Sasaki, Tomomitsu; Sato, Takahiro; Inoue, Tsutomu

PA Fujiyakuhin Co. Ltd., Japan

SO PCT Int. Appl., 22 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004092178	A1	20041028	WO 2004-JP5304	20040414
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004230380	A1	20041028	AU 2004-230380	20040414
CA 2521831	A1	20041028	CA 2004-2521831	20040414
EP 1614689	A1	20060111	EP 2004-727399	20040414
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1774440	A	20060517	CN 2004-80009854	20040414
US 20060217556	A1	20060928	US 2005-553034	20051011
PRAI JP 2003-109892	A	20030415		
WO 2004-JP5304	W	20040414		

OS CASREACT 141:379912

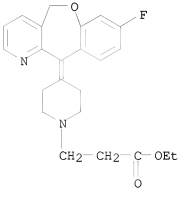
IT 153250-06-7P

RL: IMF (Industrial manufacture); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(preparation of dihydrobenz[b]oxepino[4,3-b]pyridin-11-ylidene)piperidino]propionic acid alkyl esters acid salts and dihydrobenz[b]oxepino[4,3-b]pyridin-11-ylidene)piperidino]propionic acid derivative)

RN 153250-06-7 CAPLUS

CN 1-Piperidinepropanoic acid, 4-(8-fluoro[1]benzoxepino[4,3-b]pyridin-11(5H)-ylidene)-, ethyl ester (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

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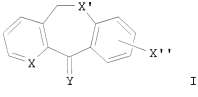


I

AB Title compds. I [X = CH, N; X' = CH2, O; X'' = inert group; Y = Z; R = (esterified or amidated) carboxyalkyl, alkyl, (esterified or amidated) CO2H] are prepared by reaction of I (Y = O) with ZO (R = esterified or amidated carboxyalkyl, alkyl, esterified or amidated CO2H) in the presence of low-valent Ti compds. and optional hydrolysis. I (X = N, X' = O, X'' = 8-F, Y = O) (228.7 mg) was treated with tert-Bu 3-(4-oxopiperidin-1-yl)propionate in the presence of low-valent Ti reagent (prepared from TiCl4 and Zn) in THF under reflux for 20 min to give 269.2 mg I (X = N, X' = O, X'' = 8-F, Y = Z, R = CH2CH2CO2CMe3).

AN 2002:900817 CAPLUS

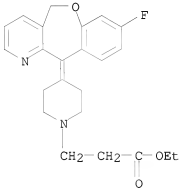
DN 138:4593

TI Preparation of antiallergic piperidylidenebenzoxepines or their intermediates

IN Sasaki, Tomomitsu; Sato, Takahiro; Ameda, Junichiro; Inoue, Tsutomu
PA Fuji Yakuhin Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2002338574	A	20021127	JP 2001-149896	20010518
JP 3548133	B2	20040728		
PRAI JP 2001-149896		20010518		

OS MARPAT 138:4593
IT 153250-06-7P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of antiallergic piperidylidenebenzoxepines or their intermediates)
RN 153250-06-7 CAPLUS
CN 1-Piperidinepropanoic acid, 4-(8-fluoro[1]benzoxepino[4,3-b]pyridin-11(5H)-ylidene)-, ethyl ester (CA INDEX NAME)



L7 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
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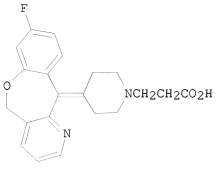


I

AB An important approach to the design of antiallergic agents with reduced penetration into the central nervous system (CNS) is described. A series of 3-[(5,11-dihydro[1]benzoxepino[4,3-b]pyridin-11-ylidene)piperidino]propionic acid derivs. and related compds. were synthesized and evaluated for antiallergic activity and penetration of a compound into the CNS in comparison with the corresponding 6H-dibenz[b,e]oxepin derivative Combination of zwitterionization and introduction of a pyridine component resulted in an increase in antiallergic activity and a great reduction of penetration into the CNS, which was evaluated by the selectivity (B/A) of antihistaminic activities in the central system [ID50 value (B) for ex vivo H1 binding to mouse brain membranes] and in the peripheral system [ED50 value (A) for inhibitory effect on histamine-induced increase in vascular permeability in mice]. This surprising reduction of penetration into the CNS could be considered on the basis of an increase in hydrophilicity caused by both of the zwitterionization and the introduction of a pyridine component. 3-[4-(8-Fluoro-5,11-dihydro[1]benzoxepino[4,3-b]pyridin-11-ylidene)piperidino]propionic acid (I) exhibited a strong antiallergic effect in various exptl. models and very low penetration into the CNS. Compound I (HSR-609) is now under clin. trial as a promising antiallergic agent with greatly reduced penetration into the CNS.

AN 1995:319998 CAPLUS

DN 122:187448

OREF 122:34339a,34342a

TI Amphoteric Drugs. 3. Synthesis and Antiallergic Activity of 3-[(5,11-Dihydro[1]benzoxepino[4,3-b]pyridin-11-ylidene)piperidino]propionic Acid Derivatives and Related Compounds

AU Iwasaki, Nobuhiko; Ohashi, Tetsuo; Musoh, Keiichi; Nishino, Hiroyuki; Kado, Noriyuki; Yasuda, Shingo; Kato, Hideo; Ito, Yasuo

CS Research and Development Division, Hokuriku Seiyaku Co. Ltd., Katsuyama, 911, Japan

SO Journal of Medicinal Chemistry (1995), 38(3), 496-507
CODEN: JMCMAR; ISSN: 0022-2623

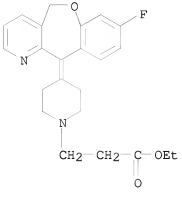
PB American Chemical Society

DT Journal

LA English

IT 153250-06-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and antiallergic activity of [(dihydrobenzoxepinopyridinylidene)piperidino]propionic acid derivs. and related compds.)

RN 153250-06-7 CAPLUS
CN 1-Piperidinepropanoic acid, 4-(8-fluoro[1]benzoxepino[4,3-b]pyridin-11(5H)-ylidene)-, ethyl ester (CA INDEX NAME)



L7 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
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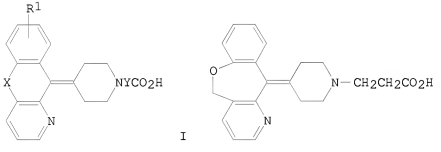

I II

AB The title compds., such as [(benz[b]oxepino[4,3-b]pyridin-11-ylidene)piperidinyl]alkanoates and [(benzo[b]pyrano[3,2-b]pyridin-11-ylidene)piperidinyl]alkanoates, I (R1 = hydrogen, halo; X = oxygen, CH, OCH2, etc.; Y = alkylene) and their uses as antihistaminics and antiallergics and for the treatment of bronchial asthma are claimed. For example, 3-[4-(5,11-dihydrobenz[b]oxepino[4,3-b]pyridin-11-ylidene)piperidinyl]propionic acid (II) was prepared from Et 4-(5,11-dihydrobenz[b]oxepino[4,3-b]pyridin-11-ylidene)piperidinecarboxylate.
AN 1994:164249 CAPLUS
DN 120:164249
OREF 120:28987a,28990a
TI Amphoteric tricyclic compounds as antihistaminic and antiallergic agents
IN Ito, Yasuo; Kato, Hideo; Yasuda, Shingo; Kado, Noriyuki; Iwasaki, Nobuhiko; Nishino, Hiroyuki; Takeshita, Makoto
PA Hokuriku Pharmaceutical Co., Ltd., Japan

SO Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 556813	A1	19930825	EP 1993-102518	19930218
	EP 556813	B1	19971126		
	R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
	JP 06192263	A	19940712	JP 1993-23400	19930120
	JP 2974529	B2	19991110		
	CA 2089207	A1	19930821	CA 1993-2089207	19930210
	CA 2089207	C	19970429		
	US 5334594	A	19940802	US 1993-15812	19930210
	AU 9333097	A	19930826	AU 1993-33097	19930217
	AU 655869	B2	19950112		
	AT 160566	T	19971215	AT 1993-102518	19930218
	ES 2111086	T3	19980301	ES 1993-102518	19930218
	KR 140504	B1	19980601	KR 1993-2377	19930220
PRAI	JP 1992-69404	A	19920220		
	JP 1992-137602	A	19920501		
	JP 1992-137605	A	19920501		
	JP 1992-273506	A	19920918		
	JP 1992-321467	A	19921106		

OS MARPAT 120:164249
IT 153250-06-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antihistaminic and antiallergic)
RN 153250-06-7 CAPLUS
CN 1-Piperidinepropanoic acid, 4-(8-fluoro[1]benzoxepino[4,3-b]pyridin-11(5H)-ylidene)-, ethyl ester (CA INDEX NAME)